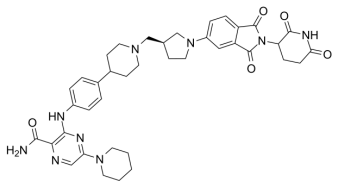
NX-2127

Cat. No.:	HY-153220
CAS No.:	2416131-46-7
Molecular Formula:	$C_{39}H_{45}N_9O_5$
Molecular Weight:	719.83
Target:	Btk
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (138.92 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.3892 mL	6.9461 mL	13.8922 mL
	5 mM	0.2778 mL	1.3892 mL	2.7784 mL
	10 mM	0.1389 mL	0.6946 mL	1.3892 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

NX-2127 is an orally and potent BTK inhibitor, inducing degradation of the mutated BTK^{C481S} in cells. NX-2127 inhibits proliferation of BTK^{C481S} mutant TMD8 cells, more effectively than Ibrutinib (HY-10997). NX-2127 catalyzes the degradation of Ikaros (IKZF1) and Aiolos (IKZF3) with of 25 nM and 54 nM, respectively. NX-2127 stimulates T cell activation and increases IL-2 production in primary human T Cells[1][2].

In Vitro

NX-2127 inhibits proliferation of BTK-C481S mutant TMD8 cells with an EC_{50} value <30 nM[1].
NX-2127 increases IL-2 production in primary human T Cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

NX-2127 (1 mg/kg; po; once daily for 14 days) demonstrates potent degradation of BTK in cynomolgus monkeys in vivo[1].
NX-2127 (po) leads to dose-proportional exposure in plasma and BTK degradation to <10% of baseline levels in circulating and splenic B cells[1].
NX-2127 results in superior tumor growth inhibition (TGI) in both WT TMD8 and C481S mutant xenograft models in mouse[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Robbins D W, et al. Nx-2127, a degrader of BTK and IMiD neosubstrates, for the treatment of B-cell malignancies. Blood, 2020, 136: 34.

[2]. Mato A, et al. A first-in-human phase 1 trial of NX-2127, a first-in-class oral BTK degrader with IMiD-like activity, in patients with relapsed and refractory B-cell malignancies. 2022.

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